=> s daurichromenic
L1 9 DAURICHROMENIC

=> d 1-9 abs

L1 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AB The highly potent anti-HIV natural product **daurichromenic** acid was successfully synthesized in only five steps with 49% overall yield. The key step in the synthetic strategy involves a microwave-assisted tandem condensation and intramol. SN2'-type cyclization to form the 2H-benzopyran core structure.

L1 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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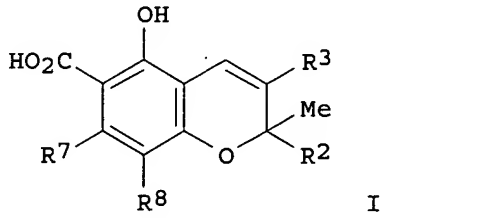
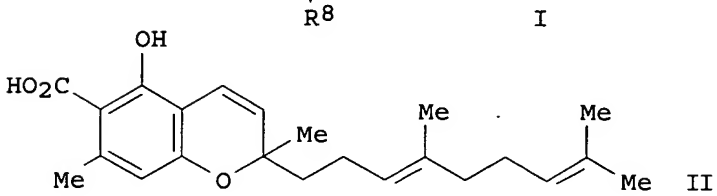


I



II

AB 2H-benzo[6]pyrans, such as I [R2, R3, R7, R8 = H, Me, other organic substituents that do not interfere with the preparative condensation reaction], were prepared by microwave-assisted tandem aldol reaction of a phenolic enolate followed by intramol. SN2' type cyclization to form the 2H-benzo[6]pyran core structure. These 2H-benzo[6]pyrans were claimed for use as biocides, such as herbicidal, antibacterial, fungicidal and antiviral agents. Thus, the anti-HIV natural product (±)-**daurichromenic** acid (II) was prepared via a microwave-assisted cyclocondensation reaction of trans,trans-farnesal with 2,4-dihydroxy-6-methylbenzoic acid Et ester using CaCl2.2H2O and Et3N in EtOH to give (±)-**daurichromenic** acid Et ester in 70% yield and subsequent treatment of the ester thus formed with 3M NaOH in MeOH/H2O for 3 days gave the desired acid II with 40% yield. Pharmaceutical compns. for delivery of the prepared 2H-benzo[6]pyrans were discussed.

L1 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AB A modular and concise total synthesis of (±)-**daurichromenic** acid was accomplished in four steps from Et acetoacetate, Et crotonate, and trans,trans-farnesal. A series of analogs of this natural product, which has potent anti-HIV activity, were also prepared from Et or Me acetoacetate and a series of readily available α,β-unsatd. esters and aldehydes.

L1 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AB The highly potent anti-HIV natural product **daurichromenic** acid was successfully synthesized in only five steps with 49% overall yield. The key step in the synthetic strategy involves a microwave-assisted tandem condensation and intramol. SN2'-type cyclization to form the 2H-benzopyran core structure.

L1 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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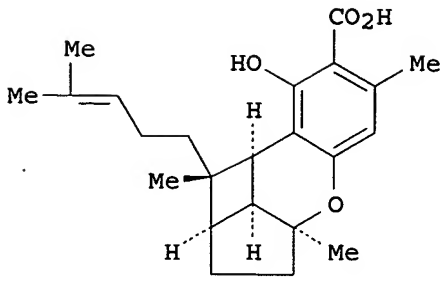

I

AB Total syntheses of (±)-rhododaurichromanic acids A (I) and B and Me (±)-**daurichromenic** ester are described here. Despite the complex appearances of these compds., their syntheses are completed in six steps with a 15% overall yield as a mixture by featuring the formal oxa-[3 + 3] cycloaddn. methodol.

L1 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AB Rhododaurichromanic acid A (1) was reported in 2000 by Kashiwada et. al. and exhibits anti-HIV activity that, in part, makes it an attractive synthetic target. Constructing a highly strained oxatricyclo[5.2.11,5.08,10]decane moiety in addition to five contiguous stereocenters poses a synthetic challenge. Rhododaurichromanic acid A can be derived from **daurichromenic** acid (2) by photochem. [2 + 2] cycloaddn. The core of **daurichromenic** acid can be constructed utilizing a formal [3 + 3] cycloaddn. reaction between diketone 3 and enal 4. Details of this synthetic effort will be presented.

L1 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AB The formal [3 + 3] cycloaddn. reaction has been found to be useful in the synthesis of complex heterocycles with regio- and stereochem. control. Rhododaurichromanic Acid A, a natural product isolated in 2000 by Kashiwada and coworkers, has exhibited relatively potent anti-HIV activity. We believe that this natural product is related to its enantiomer, Acid B, and its precursor, **daurichromenic** acid, via interesting biosynthetic pathways. The total synthesis of Rhododaurichromanic Acid A involves the critical formation of the structural core of **daurichromenic** acid via our cycloaddn. methodol. in a rather short synthetic sequence. Final steps toward total synthesis will also be discussed.

L1 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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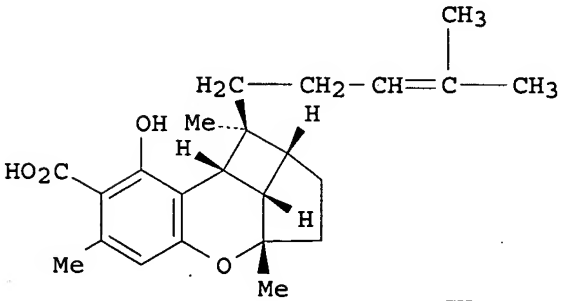
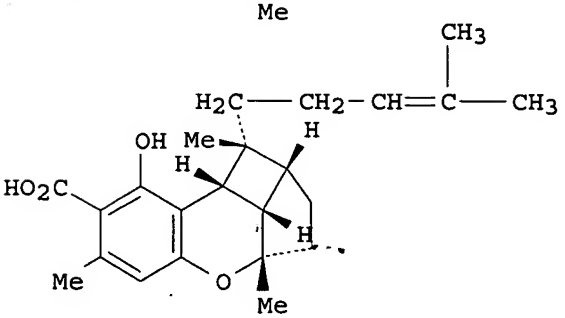


I



II

AB Two novel chromane derivs. (I) and (II) and the known chromene (III) were isolated from the leaves and twigs of Rhododendron dauricum. The absolute stereostructure of I was established by spectroscopic examination and X-ray crystallog. anal. The absolute stereostructures of II and III were also confirmed by photochem. conversion of III into I and II. **Daurichromenic** acid III demonstrated potent anti-HIV activity with an EC50 value of 0.00567 μg/mL and therapeutic index (TI) of 3,710. Rhododaurichromanic acid A I also showed relatively potent anti-HIV activity with an EC50 value of 0.37 μg/mL, and a TI of 91.9, whereas rhododaurichromanic acid B II displayed no anti-HIV activity.

L1 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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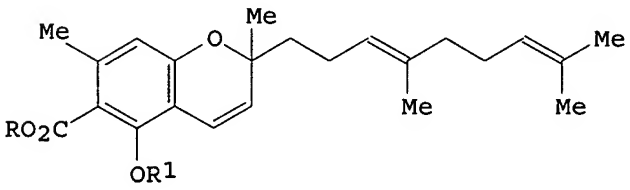

I

AB **Daurichromenic** acid (I, R = R1 = H) was isolated from Rhododendron dauricum. Thus, the MeOH extract of leaves of R. dauricum was chromatographed using a silica gel column and benzene/EtOAc/MeOH (5:1:1) solvent system to give I (R = R1 = H), characterized on the basis of its IR, UV, and NMR spectra. Also, I (R = Me, R1 = Ac; R = Me, R1 = H) were prepared

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